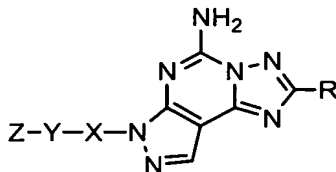
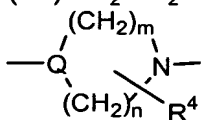


1. Compounds having the structural formula

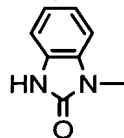


R is R<sup>1</sup>-furanyl, R<sup>1</sup>-thienyl, R<sup>1</sup>-pyridyl, R<sup>1</sup>-pyridyl N-oxide, R<sup>1</sup>-oxazolyl, R<sup>10</sup>-phenyl, R<sup>1</sup>-pyrrolyl or C<sub>4</sub>-C<sub>6</sub> cycloalkenyl;







Y is  $-N(R^2)CH_2CH_2N(R^3)-$ ,  $-OCH_2CH_2N(R^2)-$ ,  $-O-$ ,  $-S-$ ,  $-CH_2S-$ ,  $-(CH_2)_2-NH-$ , or

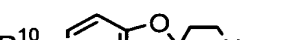







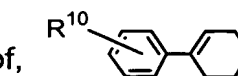

Z is R<sup>5</sup>-phenyl, R<sup>5</sup>-phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>5</sup>-heteroaryl, diphenylmethyl, R<sup>6</sup>-C(O)-,


$$\begin{array}{c} | \\ -C- \\ | \\ H \end{array}$$

or

$R^9$ -, , , , ,  $R^{11}ON=$ ,

$R^{10}$ -, , , , ,

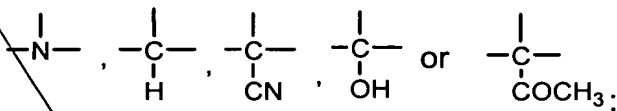
$R^9$ - or an N-oxide thereof,  $R^{10}$ - or  $R^{10}$ -;

R<sup>1</sup> is 1 to 3 substituents independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, -CF<sub>3</sub>, halogen, -NO<sub>2</sub>, -NR<sup>12</sup>R<sup>13</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, and C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl;

R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl;

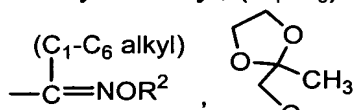
m and n are independently 2-3;

Q is



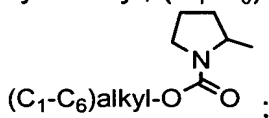
R<sup>4</sup> is 1-2 substituents independently selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl, or two R<sup>4</sup> substituents on the same carbon can form =O;

R<sup>5</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub>, acetyl, -NO<sub>2</sub>, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy, di-((C<sub>1</sub>-C<sub>6</sub>)-alkoxy)(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, carboxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkoxy, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino(C<sub>1</sub>-C<sub>6</sub>)alkoxy, morpholinyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkoxy, tetrahydropyranyloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyl(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyloxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, -SO<sub>2</sub>NH<sub>2</sub>, phenoxy,



or adjacent R<sup>5</sup> substituents together are -O-CH<sub>2</sub>-O-, -O-CH<sub>2</sub>CH<sub>2</sub>-O-, -O-CF<sub>2</sub>-O- or -O-CF<sub>2</sub>CF<sub>2</sub>-O- and form a ring with the carbon atoms to which they are attached;

R<sup>6</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>5</sup>-phenyl, R<sup>5</sup>-phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, thienyl, pyridyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-OC(O)-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl-, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)aminomethyl, or



R<sup>7</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>5</sup>-phenyl or R<sup>5</sup>-phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl; or R<sup>7</sup> and R<sup>8</sup> together are -(CH<sub>2</sub>)<sub>p</sub>-A-(CH<sub>2</sub>)<sub>q</sub>, wherein p and q are independently 2 or 3 and A is a bond, -CH<sub>2</sub>-, -S- or -O-, and form a ring with the nitrogen to which they are attached;

R<sup>9</sup> is 1-2 groups independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, halogen, -CF<sub>3</sub> and (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy;

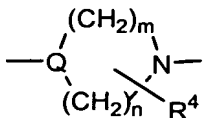
R<sup>10</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>alkylamino, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub> and -S(O)<sub>0.2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>11</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, benzyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, pyrrolidinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl or piperidino(C<sub>1</sub>-C<sub>6</sub>)alkyl;

a'  
cont

$R^{12}$  is H or  $C_1-C_6$  alkyl; and  
 $R^{13}$  is  $(C_1-C_6)alkyl-C(O)-$  or  $(C_1-C_6)alkyl-SO_2-$ .

2. A compound of claim 1 wherein R is  $R^1$ -furanyl.
3. A compound of claim 1 wherein X is  $C_2-C_6$  alkylene.
4. A compound of claim 1 wherein Y is

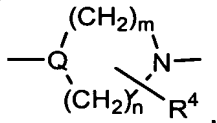


5. A compound of claim 5 wherein Q is  $\begin{array}{c} | \\ -N- \\ | \end{array}$  or  $\begin{array}{c} | \\ -CH- \\ | \end{array}$ .
6. A compound of claim 5 wherein m and n are each 2, and  $R^4$  is H.

7. A compound of claim 1 wherein Z is  $R^5$ -phenyl,  $R^5$ -heteroaryl,  $R^6-C(O)-$  or  $R^6-SO_2-$ .

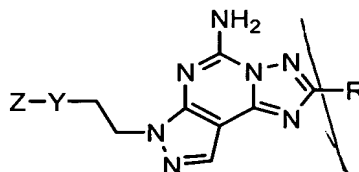
8. A compound of claim 7 wherein  $R^5$  is H, halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, hydroxy( $C_1-C_6$ )alkoxy or  $(C_1-C_6)alkoxy(C_1-C_6)alkoxy$ , or  $R^6$  is  $R^5$ -phenyl.

9. A compound of claim 1 wherein R is  $R^1$ -furanyl, X is  $C_2-C_6$  alkylene, Y is



Q is  $\begin{array}{c} | \\ -N- \\ | \end{array}$  or  $\begin{array}{c} | \\ -CH- \\ | \end{array}$ , m and n are each 2,  $R^4$  is H, Z is  $R^5$ -phenyl,  $R^5$ -heteroaryl,  $R^6-C(O)-$  or  $R^6-SO_2-$ ,  $R^5$  is H, halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, hydroxy( $C_1-C_6$ )alkoxy or  $(C_1-C_6)alkoxy(C_1-C_6)alkoxy$ , and  $R^6$  is  $R^5$ -phenyl.

10. A compound of claim 1 selected from the group consisting of compounds of the formula



wherein R and Z-Y are as defined in the following table.

Z-Y-	R

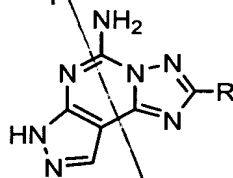
14  
11. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in a pharmaceutically acceptable carrier.

Sub  
A2 5 15  
12. A method of treating central nervous system diseases or stroke, comprising administering an effective amount of a compound of formula I to a mammal in need of such treatment.

16  
13. A method of claim 12 for treating depression, cognitive diseases and neurodegenerative diseases.

17  
14. A method of claim 13 for treating Parkinson's disease, senile dementia or psychoses of organic origin.

15. A process of preparing a compound of formula II



wherein R is R<sup>1</sup>-furanyl, R<sup>1</sup>-thienyl, R<sup>1</sup>-pyridyl, R<sup>1</sup>-pyridyl N-oxide, R<sup>1</sup>-oxazolyl, R<sup>10</sup>-phenyl, R<sup>1</sup>-pyrrolyl or C<sub>4</sub>-C<sub>6</sub> cycloalkenyl;

R<sup>1</sup> is 1 to 3 substituents independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, -CF<sub>3</sub>, halogen, -NO<sub>2</sub>, -NR<sup>12</sup>R<sup>13</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, and C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl;

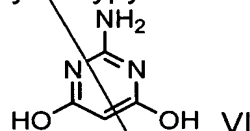
R<sup>10</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>alkylamino, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub> and -S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>12</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl; and

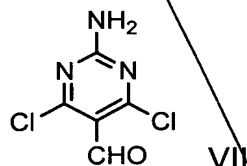
R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl-C(O)- or (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-;

comprising

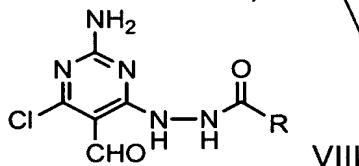
(1) treating 2-amino-4,6-dihydroxypyrimidine



with POCl<sub>3</sub> in dimethylformamide to obtain 2-amino-4,6-dichloropyrimidine-5-carboxaldehyde

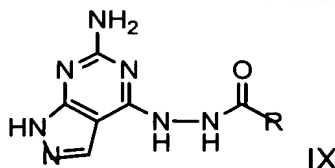


(2) treating carboxaldehyde VII with a hydrazide of the formula H<sub>2</sub>N-NH-C(O)-R, wherein R is as defined above, to obtain



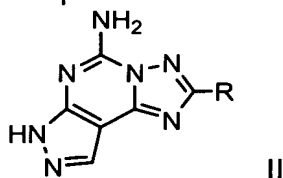
09865071.052401

(3) treating the intermediate of formula VIII with hydrazine hydrate to form a pyrazolo ring, thus obtaining the intermediate of formula IX



(4) forming the desired compound of formula II by dehydrative rearrangement.

16. A process for preparing a compound of the formula II



wherein R is R<sup>1</sup>-furanyl, R<sup>1</sup>-thienyl, R<sup>1</sup>-pyridyl, R<sup>1</sup>-pyridyl N-oxide, R<sup>1</sup>-oxazolyl, R<sup>10</sup>-phenyl, R<sup>1</sup>-pyrrolyl or C<sub>4</sub>-C<sub>6</sub> cycloalkenyl;

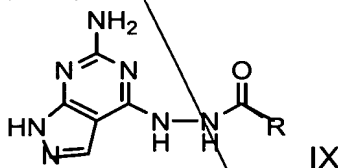
R<sup>1</sup> is 1 to 3 substituents independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, -CF<sub>3</sub>, halogen, -NO<sub>2</sub>, -NR<sup>12</sup>R<sup>13</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, and C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl;

R<sup>10</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>alkylamino, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub> and -S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>12</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl; and

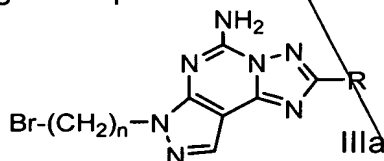
R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl-C(O)- or (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-;

comprising converting a compound of formula IX



into the desired compound of formula II by dehydrative rearrangement.

17. A process for preparing a compound of formula IIIa



wherein R is R<sup>1</sup>-furanyl, R<sup>1</sup>-thienyl, R<sup>1</sup>-pyridyl, R<sup>1</sup>-pyridyl N-oxide, R<sup>1</sup>-oxazolyl, R<sup>10</sup>-phenyl, R<sup>1</sup>-pyrrolyl or C<sub>4</sub>-C<sub>6</sub> cycloalkenyl;

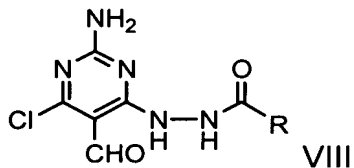
R<sup>1</sup> is 1 to 3 substituents independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, -CF<sub>3</sub>, halogen, -NO<sub>2</sub>, -NR<sup>12</sup>R<sup>13</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, and C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl;

$R^{10}$  is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen,  $C_1$ - $C_6$  alkyl, hydroxy,  $C_1$ - $C_6$  alkoxy, -CN, - $NH_2$ ,  $C_1$ - $C_6$ alkylamino, di-(( $C_1$ - $C_6$ )alkyl)amino, - $CF_3$ , - $OCF_3$  and - $S(O)_{0-2}(C_1-C_6)$ alkyl;

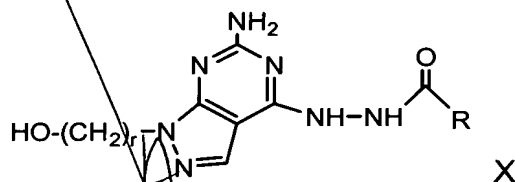
$R^{12}$  is H or  $C_1$ - $C_6$  alkyl; and

5  $R^{13}$  is ( $C_1$ - $C_6$ )alkyl-C(O)- or ( $C_1$ - $C_6$ )alkyl-SO<sub>2</sub>-;  
comprising

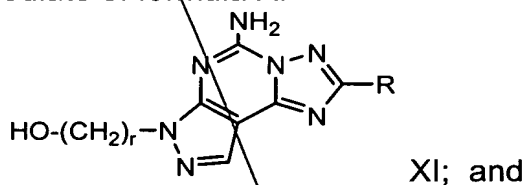
(1) treating a chloride of formula VIII



10 with a hydroxyalkyl hydrazine of the formula  $HO-(CH_2)_r-NHNH_2$ , wherein r is 2-6, to obtain



(2) cyclizing the intermediate of formula X by dehydrative rearrangement to obtain the tricyclic intermediate of formula XI



15 (3) converting the hydroxy compound of formula XI to the bromide of formula IIIa.

18. A pharmaceutical composition comprising a therapeutically effective amount of  
a combination of a compound of claim 1 and 1 to 3 other agents useful in treating  
20 Parkinson's disease in a pharmaceutically acceptable carrier

19. A method of treating Parkinson's disease comprising administering to a  
mammal in need of such treatment an effective amount of a combination of a  
compound of claim 1 and 1 to 3 other agents useful in treating Parkinson's disease.

20. The method of claim 19 wherein the other agents are selected from the group  
consisting of L-DOPA, dopaminergic agonists, MAO-B inhibitors, DOPA  
decarboxylase inhibitors and COMT inhibitors.

add  
AB